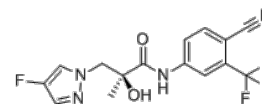


Product Name : UT-34
Cat. No. : PC-21405
CAS No. : 2168525-92-4
Molecular Formula : C₁₅H₁₂F₄N₄O₂
Molecular Weight : 356.28
Target : Androgen Receptor (AR)
Solubility : 10 mM in DMSO



Biological Activity

UT-34 is a potent, second-generation androgen receptor (AR) pan antagonist, degrades enzalutamide-sensitive and -resistant ARs and AR splice variants (AR-SVs).

UT-34 interacts with AR AF-1 domain, UT-34 does not bind to the AR-LBD.

UT-34 antagonizes the wild-type AR with IC₅₀ of 200 nM, inhibits the various mutant ARs (W741L, T877A, and F876L) comparably or with better IC₅₀.

UT-34 downregulates T877A-AR and F876L-enzalutamide-resistant AR, reduces AR levels at 1 μM in LNCaP and MR49F cells. UT-34 does not inhibit the transactivation of GR and mineralocorticoid receptor, and does not downregulate the ER and PR protein levels.

UT-34 requires ubiquitin proteasome pathway to degrade the AR.

UT-34 promotes AR-V7 degradation, inhibits AR and AR-V7-target gene expression and induces distinct AR conformation.

UT-34 inhibits enzalutamide-sensitive and -resistant AR-dependent gene expression and prostate cancer cell proliferation.

UT-34 inhibits AR function and proliferation of an AR-amplified model (VCaP cells) and a PDX model.

References

Ponnusamy S, et al. Clin Cancer Res. 2019 Nov 15;25(22):6764-6780.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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